=> file caplus

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FILE COVERS 1907 - 2 Dec 2004 VOL 141 ISS 23 FILE LAST UPDATED: 1 Dec 2004 (20041201/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que L5 STR

Structure attributes must be viewed using STN Express query preparation.

L6 4 SEA FILE=REGISTRY SSS FUL L5

L7 1 SEA FILE=CAPLUS L6

=> d 17 l ibib abs hitstr

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:267296 CAPLUS

DOCUMENT NUMBER: 140:303520

TITLE: Preparation of arylpyrrolidones as monoamine oxidase-B

(MAO-B) inhibitors

INVENTOR(S): Iding, Hans; Jolidon, Synese; Krummenacher, Daniela;

Rodriguez Sarmiento, Rosa Maria; Thomas, Andrew William; Wirz, Beat; Wostl, Wolfgang; Wyler, Rene

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE:

PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | | | | | |
|---------------|------------------|-----|-----|-----------------|-----|-------------|-------------------|------|----------------|------|-------|------|----------|----------|-----|-----|-----|
| WO | WO 2004026827 | | | | | A1 20040401 | | | , | WO 2 | 003-1 | EP10 | 20030918 | | | | |
| - | | | | | | | ΑU, | | | | | | | | | | |
| | | | | | | | DK, | | | | | | | | | | |
| | | | | | | | ΙL, | | | | | | | | | | |
| | | | | | | | MA, | | | | | | | | | | |
| | | | | | | | SD, | | | | | | | | | | |
| | | | | | | | YU, | | | | | | | | | | |
| | RW: | | | | | | MZ, | | | | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, |
| | | | | | | | TM, | | | | | | | | | | |
| | | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG |
| US 2004097578 | | | | | | | | | | US 2 | 003- | 6665 | 20030918 | | | | |
| US 2004106650 | | | | | | A1 20040603 | | | | US 2 | 003- | 6670 | | | | | |
| | | | | | | A1 20040617 | | | US 2003-667087 | | | | | 20030918 | | | |
| PRIORIT | | | | | | EP 2 | 002- | 2131 | 9 | | A 2 | 0020 | 920 | | | | |
| OTHER S | OTHER SOURCE(S): | | | | | | MARPAT 140:303520 | | | | | | | | | | |
| GI | | | | | | | | | | | | | | | | | |

AB Title compds. (I; Q = N, CR24; XY = CH2CH2, CH:CH, CH2O; R1, R11, R12 = H, halo, alkyl, haloalkyl, cyano, alkoxy, haloalkoxy; R21, R22, R23 = H, halo; R24 = H, halo, Me; R3 = CONHMe, CH2CN), were prepared Thus, Me 1-(4-hydroxyphenyl)-5-oxopyrrolidine-3-carboxylate (preparation given), K2CO3, and 3-fluorobenzyl bromide were refluxed 5 h in EtCOMe to give 24% Me 1-[4-(3-fluorobenzyloxy)phenyl]-5-oxopyrrolidine-3-carboxylate. The latter was heated with MeNH2 in EtOH/DMF in a sealed vessel at 120° for 48 h to give 31% 1-[4-(3-fluorobenzyloxy)phenyl]-5-oxopyrrolidine-3-carboxylic acid methylamide. Preferred I inhibited MAO-B with IC50 ≤1μM.

Ι

676472-62-1P 676472-63-2P 676472-64-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of arylpyrrolidones as monoamine oxidase-B inhibitors)

RN 676472-62-1 CAPLUS

ΙT

CN

3-Pyrrolidineacetonitrile, 1-[4-[(3,4-difluorophenyl)methoxy]phenyl]-5-oxo-

10/667,088

(9CI) (CA INDEX NAME)

RN 676472-63-2 CAPLUS
CN 3-Pyrrolidineacetonitrile, 1-[4-[(3-fluorophenyl)methoxy]phenyl]-5-oxo(9CI) (CA INDEX NAME)

RN 676472-64-3 CAPLUS CN 3-Pyrrolidineacetonitrile, 5-oxo-1-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME) 10/667,088

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Structure attributes must be viewed using STN Express query preparation.

L10 137 SEA FILE=REGISTRY SSS FUL L8

L11 1 SEA FILE=CAPLUS L10

=> d l11 ibib abs hit

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:267296 CAPLUS

DOCUMENT NUMBER: 140:303520

TITLE: Preparation of arylpyrrolidones as monoamine oxidase-B

(MAO-B) inhibitors

INVENTOR(S): Iding, Hans; Jolidon, Synese; Krummenacher, Daniela;

Rodriguez Sarmiento, Rosa Maria; Thomas, Andrew William; Wirz, Beat; Wostl, Wolfgang; Wyler, Rene

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: , Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

T: 3

PATENT INFORMATION:

| PATENT NO. | | | | | | KIND | | DATE | | APPLICATION NO. | | | | | DATE | | | |
|------------------------|-------------------|-----|-----|-----|-------------|-------------|------|-----------------|------|-----------------|------|----------|----------|-----|------|------|-----|--|
| | | | | | | | | | | | | | | | | | | |
| WO | WO 2004026827 | | | A1 | | 20040401 | | WO 2003-EP10384 | | | | | 20030918 | | | | | |
| | W : | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | ВG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FΙ, | GB, | GD, | GE, | |
| | | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JΡ, | ΚE, | KG, | ΚP, | KR, | KΖ, | LC, | LK, | |
| | | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NO, | ΝZ, | OM, | |
| | | PH, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SK, | SL, | SY, | ТJ, | TM, | TN, | TR, | TT, | |
| | | TZ, | UA, | UG, | UZ, | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | |
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| | | KG, | ΚZ, | MD, | RU, | ТJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | |
| | | FΙ, | FR, | GB, | GR, | HU, | IE, | ΙΤ, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, | |
| | - | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG | |
| US 2004097578 | | | | | | | 2004 | 0520 | • | US 2 | 003- | 6665 | 20030918 | | | | | |
| US 2004106650 | | | | | | A1 20040603 | | | | | 003- | 6670 | 20030918 | | | | | |
| US 2004116707 | | | | | A1 20040617 | | | • | US 2 | 003- | 6670 | 20030918 | | | | | | |
| PRIORITY APPLN. INFO.: | | | | | | | | | | EP 2 | 002- | 2131 | 9 | | A 2 | 0020 | 920 | |
| OTHER S | MARPAT 140:303520 | | | | | | | | | | | | | | | | | |
| GI | | | | | | | | | | | | | | | | | | |

Title compds. (I; Q = N, CR24; XY = CH2CH2, CH:CH, CH2O; R1, R11, R12 = H, halo, alkyl, haloalkyl, cyano, alkoxy, haloalkoxy; R21, R22, R23 = H, halo; R24 = H, halo, Me; R3 = CONHMe, CH2CN), were prepared Thus, Me 1-(4-hydroxyphenyl)-5-oxopyrrolidine-3-carboxylate (preparation given), K2CO3, and 3-fluorobenzyl bromide were refluxed 5 h in EtCOMe to give 24% Me 1-[4-(3-fluorobenzyloxy)phenyl]-5-oxopyrrolidine-3-carboxylate. The latter was heated with MeNH2 in EtOH/DMF in a sealed vessel at 120° for 48 h to give 31% 1-[4-(3-fluorobenzyloxy)phenyl]-5-oxopyrrolidine-3-carboxylic acid methylamide. Preferred I inhibited MAO-B with IC50 $\leq 1 \mu M$.

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REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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IT 676472-31-4P 676472-32-5P 676472-33-6P 676472-34-7P 676472-35-8P 676472-36-9P 676472-37-0P 676472-38-1P 676472-39-2P 676472-40-5P 676472-41-6P 676472-42-7P 676472-43-8P 676472-44-9P 676472-45-0P 676472-46-1P 676472-47-2P 676472-48-3P 676472-49-4P 676472-50-7P 676472-51-8P 676472-52-9P 676472-53-0P 676472-57-4P 676472-55-2P 676472-56-3P 676472-57-4P
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676472-69-8P 676472-70-1P 676472-71-2P
676472-72-3P 676472-73-4P 676472-74-5P
676472-75-6P

H. PAC (Pharmacological activity): SPN (Symthetic preparation

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of arylpyrrolidones as monoamine oxidase-B inhibitors)